

10/559996

IAP8 Rec'd PCT/PTO 08 DEC 2005

***ENGLISH TRANSLATION OF ANNEXES TO INTERNATIONAL PRELIMINARY REPORT OF
PATENTABILITY FOR INTERNATIONAL APPLICATION
PCT/EP2004/006315***

Printed: 14/04/2005

CLMS

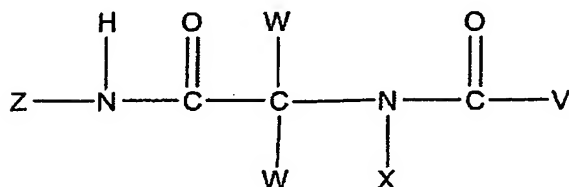
04739807

24 March 2005

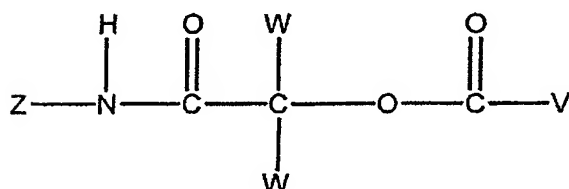
- 1 -

New claims 1, 3, 6 and 7

1. A compound of the formula (I)



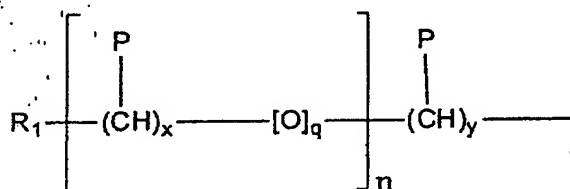
formula (Ia)



formula (Ib)

in which

the residues V, W, X and Z are in each case, independently of each other, a hydrocarbon residue which can contain heteroatoms and/or V, W and/or X is/are hydrogen, **characterized in that** at least one of the residues V, W, X and/or Z carries a binding group Y and in that the residues V, W, X and Z together exhibit at least one group of the formula (II)



formula (II)

in which

P is, on each occasion independently, H, OH, O-R₂.

24/03/2005

or CO-R₃,

R₁ is H or a hydrocarbon residue which has from 1 to 50 carbon atoms and which can contain heteroatoms,

5 R₂ is, on each occasion independently, a hydrocarbon residue having from 1 to 6 C atoms,

R₃ is OH or NR₄R₅,

10 R₄ and R₅ are, in each case independently, H or a hydrocarbon residue which can contain heteroatoms, where R₄ and R₅ can also together form a ring system,

n is, on each occasion independently, an integer of from 3 to 1000, and

15 x is, on each occasion, an integer of from 1 to 10, and

y is an integer of from 0 to 50, and

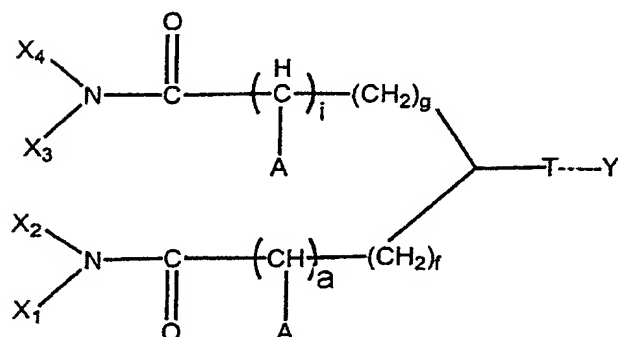
q is, on each occasion, 1.

2. A compound as claimed in claim 1, **characterized in**
20 **that** the binding group Y is selected from groups which are able to bind to an amino group, a thiol group, a carboxyl group, a guanidine group, a carbonyl group, a hydroxyl group, a heterocycle, a C-nucleophilic group, a C-electrophilic group, a
25 phosphate or a sulfate, or are able to form a chelate or a complex with metals or are able to bond to silicon-containing surfaces.

3. A compound as claimed in claims 1 and 2,
30 **characterized in that** it contains at least three groups of the formula (II).

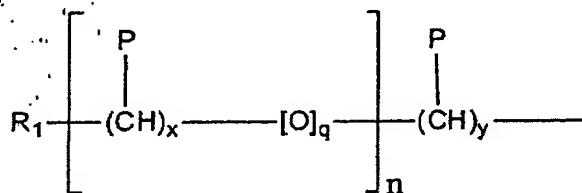
4. A compound as claimed in claim 1, **characterized in**
35 **that** at least one of the residues X and/or Z is branched and contains at least two groups of the formula (II).

5. A compound as claimed in one of the preceding claims, **characterized in that** at least one of the residues X and/or Z additionally possesses a targeting group.
6. A compound having the formula (XIV)



in which

- h and i are, on each occasion independently, 0 or 1,
- g and f are, on each occasion independently, an integer between 0 and 10, preferably between 0 and 5,
- A is, on each occasion, H or $-(CO)-NX_2$, and X_1 , X_2 , X_3 and X_4 , and also X, have, in each case independently of each other, the meanings given above for X, where the compound exhibits at least two groups of the formula (II)



formula (II)

in which

P is, on each occasion independently, H, OH, O-R₂ or CO-R₃,

R₁ is H or a hydrocarbon residue which has from 1 to 50 carbon atoms and which can contain heteroatoms,

R₂ is, on each occasion independently, a hydrocarbon residue having from 1 to 6 C atoms,

R₃ is OH or NR₄R₅,

R₄ and R₅ are, in each case independently, H or a hydrocarbon residue which can contain heteroatoms, where R₄ and R₅ can also together form a ring system,

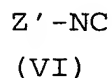
n is, on each occasion independently, an integer of from 3 to 1000 and

x is, on each occasion, an integer of from 1 to 10, and

y is an integer of from 0 to 50, and

q is, on each occasion, 1.

7. A method for preparing a compound as claimed in one of claims 1 to 6, **characterized in that** the compounds of the formulae



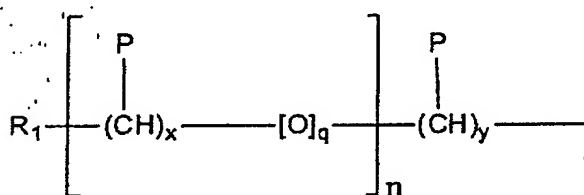
and



are reacted with each other, as starting

24/03/2005

compounds, in a multicomponent reaction, where V', W', X' and Z' are, in each case independently of each other, a hydrocarbon residue which can optionally contain heteroatoms and/or V', W' and/or X' are hydrogen, where at least one of the residues V', W', X' and Z' carries a binding group Y and where the residues V', W', X' and Z' together possess at least two groups of the formula (II)



formula (II)

in which

P is, on each occasion independently, H, OH, O-R₂ or CO-R₃,

R₁ is H or a hydrocarbon residue which has from 1 to 50 carbon atoms and which can contain heteroatoms,

R₂ is, on each occasion independently, a hydrocarbon residue having from 1 to 6 C atoms,

R₃ is OH or NR₄R₅,

R₄ and R₅ are, in each case independently, H or a hydrocarbon residue which can contain heteroatoms, where R₄ and R₅ can together also form a ring system,

n is, on each occasion independently, an integer of from 3 to 1000, and

x is, on each occasion, an integer of from 1 to 10, and

y is an integer of from 0 to 50, and

q is, on each occasion, 1.

24/03/2005

8. The method as claimed in claim 7, **characterized in that** at least one of the residues V', W', X' and/or Z' contains at least one further functionality selected from NH₂, C=O, NC and/or COOH.
9. A conjugate which comprises a compound of the formula (I), as defined in one of claims 1 to 6, which is covalently bonded to a biopharmaceutical, pharmaceutical and/or synthetic active compound.
10. A conjugate which comprises a compound of the formula (I), as defined in one of claims 1 to 6, which is covalently bonded to a surface and/or a biocatalyst.
11. A conjugate which comprises a compound of the formula (I), as defined in one of claims 1 to 6, which is covalently bonded to an enzyme.
12. A conjugate which comprises a compound of the formula (I), as defined in one of claims 1 to 6, which is covalently bonded to medicinal products or adjuvants for administering active compounds.
13. A pharmaceutical composition which comprises a compound as claimed in one of claims 1 to 6 or a conjugate as claimed in claim 9 or 11.
14. A diagnostic composition which comprises a compound as claimed in one of claims 1 to 6 or a conjugate as claimed in claim 9 or 10.
15. The use of a conjugate as claimed in claim 9 for producing a pharmaceutical for treating cancer or

24/03/2005

coronary diseases, metabolic diseases, neuronal or cerebral diseases, e.g. Alzheimer's or Parkinson's, or inflammatory processes, e.g. infections, and immune or autoimmune diseases, in particular rheumatoid arthritis.

- 5
16. A method for preparing a substance library, characterized in that at least two different compounds as claimed in claim 1 are prepared using the method as claimed in claim 7 or 8.
- 10
17. A substance library which comprises at least two different compounds of the formula (I), as defined in one of claims 1 to 6.
- 15
18. A kit which comprises
- (a) at least one compound as claimed in one of claims 1 to 6 and also
- 20 (b) buffer solutions and, where appropriate,
- (c) standard proteins and/or means for purifying conjugates which have been formed together with the compound from (a).